

10/634,401

STN- STRUCTURE SEARCH

3.23.04

=> d ibib abs hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:120586 CAPLUS

DOCUMENT NUMBER: 140:163877

TITLE: Preparation of 2-(biarylalkyl)amino-3-(heterocyclylcarbonylamino)pyridine derivatives as bradykinin receptor B1 antagonists

INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-Mei; Wai, Jenny Miu-Chun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-------------------|-----------------|------------|
| US 2004029920 | A1 | 20040212 | US 2003-634401 | 20030805 |
| PRIORITY APPLN. INFO.: | | | US 2002-401396P | P 20020806 |
| OTHER SOURCE(S): | | MARPAT 140:163877 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I) [X = Y = CH, or one is CH and the other is N; R1, R2 = H, C1-4 alkyl; R3 = H, (un)substituted C1-4 alkyl; R4 = H, nitro, halogen, (CH2)nORa, (CH2)nCO2Ra, (CH2)nCN, (CH2)nNRbRc, (CH2)nNHC(O)CH2CN, CONRbRc, C1-4 alkyl; R5 = tetrahydrofuranyl, 2-oxo-4-azetidiny, (un)substituted heteroaryl; R6a = (un)substituted C1-8 alkyl, C3-8 cycloalkyl, (un)substituted C2-8, halogen, OCF3, cyano, nitro, NRbRc, NRbC(O)Ra, NRbCO2Ra' (wherein Ra' is a nonhydrogen group selected from Ra), CO2Ra, CORa, CONRbRc, CONHORA, ORa, OC(O)Ra, S(O)nRa', SO2NHRc, NHSO2Rd, C(:NORa)NRbRc, C(:NORa)Ra, (un)substituted heterocyclyl; R6b, R6c = H, a group from R6a; with the proviso that not more than one of R6a, R6b, and R6c is a heterocycle; R7 = H, cyano, nitro, halogen, ORa, CO2Ra, CONRbRc, C1-4 alkyl; Ra = H, C1-4 alkyl, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; Rb,Rc = H, C1-4 alkyl optionally substituted with ORa, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; or NRbRc together forms a 5- or 6-membered ring optionally containing a heteroatom selected from NRa, O and S; Rd = C1-4 alkyl optionally substituted with 1 to 3 halogen atoms, aryl, aryl-C1-4 alkyl, NRbRc; n = 0, 1, 2] or pharmaceutically acceptable salts thereof are prepared Compds. disclosed herein, e.g. N-[2-[[[(1R)-1-(2-cyano-3-fluoro-1,1'-biphenyl-4-yl)ethyl]amino]-4-methylpyridin-3-yl]isoxazole-5-carboxamide (II)], are bradykinin receptor B1 antagonist compds. and useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin receptor B1 pathway. More specifically these symptoms include (1) osteoarthritis, repetitive motion pain, dental pain, cancer pain, myofascial pain, muscular injury pain, fibromyalgia pain, and perioperative pain and (2) inflammatory pain caused by chronic obstructive pulmonary disease, asthma, inflammatory bowel disease, rhinitis, pancreatitis, cystitis (interstitial cystitis), uveitis, inflammatory skin disorders, rheumatoid arthritis, edema resulting from trauma associated with burns, sprains or fracture, postsurgical intervention, osteoarthritis, rheumatic disease, tenosynovitis, or gout, (3) pain associated with angina or menstruation, and (4) pain caused by pneumoconiosis, including aluminosis, anthracosis, asbestosis, chalicosis, ptilosis, siderosis, silicosis,

tabacosis, byssinosis, adult respiratory distress syndrome, bronchitis, allergic rhinitis, vasomotor rhinitis, liver disease, multiple sclerosis, atherosclerosis, Alzheimer's disease, septic shock, cerebral edema, headache, migraine, closed head trauma, irritable bowel syndrome, or nephritis. These compds. are also useful for the treatment of diabetic vasculopathy, post capillary resistance, diabetic symptoms associated with insulinitis, psoriasis, eczema, spasms of the gastrointestinal tract or uterus, Crohn's disease, ulcerative colitis, or pancreatitis.

IT 656237-13-7P 656237-14-8P 656237-15-9P
 656237-16-0P 656237-17-1P 656237-18-2P
 656237-19-3P 656237-20-6P 656237-21-7P
 656237-22-8P 656237-23-9P 656237-24-0P
 656237-25-1P 656237-26-2P 656237-27-3P
 656237-28-4P 656237-29-5P 656237-30-8P
 656237-31-9P 656237-32-0P 656237-33-1P
 656237-34-2P 656237-35-3P 656237-36-4P
 656237-37-5P 656237-38-6P 656237-39-7P
 656237-40-0P 656237-41-1P 656237-42-2P
 656237-43-3P 656237-44-4P 656237-45-5P
 656237-46-6P 656237-47-7P 656237-48-8P
 656237-49-9P 656237-50-2P 656237-51-3P
 656237-52-4P 656237-53-5P 656237-54-6P
 656237-55-7P 656237-56-8P 656237-57-9P
 656237-58-0P 656237-59-1P 656237-60-4P
 656237-61-5P 656237-62-6P 656237-63-7P
 656237-64-8P 656237-65-9P 656237-66-0P
 656237-67-1P 656237-68-2P 656237-69-3P
 656237-70-6P 656237-71-7P 656237-72-8P
 656238-75-4P

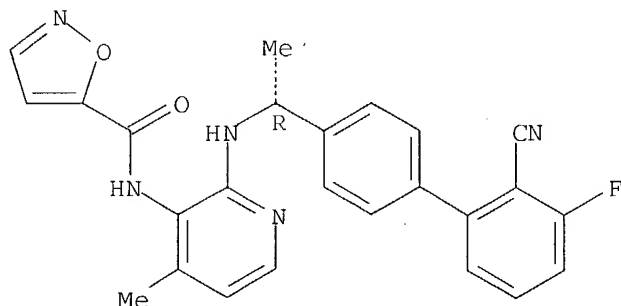
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (biarylalkyl)amino(heterocyclylcarbonylamino)pyridine derivs. as bradykinin receptor B1 antagonists for treatment or prevention of symptoms associated with bradykinin receptor B1 pathway)

RN 656237-13-7 CAPLUS

CN 5-Isioxazolecarboxamide, N-[2-[[{(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

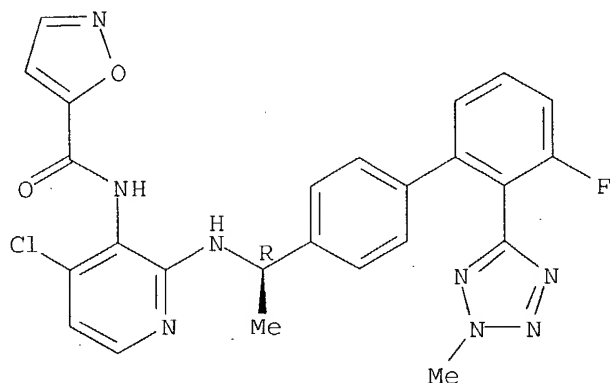
RN 656237-14-8 CAPLUS

CN 5-Isioxazolecarboxamide, N-[4-chloro-2-[[{(1R)-1-[3'-fluoro-2'-(2-methyl-2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]ethyl]amino]-3-pyridinyl]- (9CI) (CA

10/634,401

INDEX NAME)

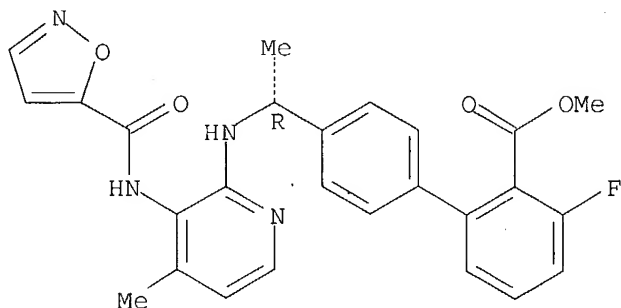
Absolute stereochemistry.



RN 656237-15-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-4'--[(1R)-1-[[3-[(5-isoxazolylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 656237-16-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-4'--[(1R)-1-[[3-[(5-isoxazolylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

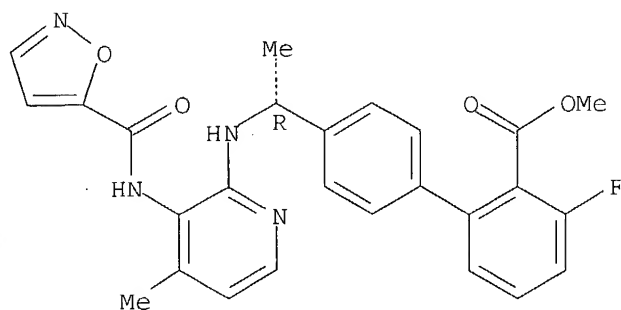
CM . 1

CRN 656237-15-9

CMF C26 H23 F N4 O4

Absolute stereochemistry.

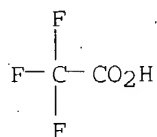
10/634,401



CM 2

CRN 76-05-1

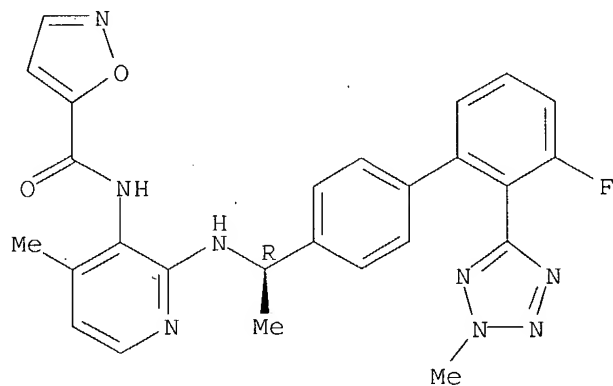
CMF C2 H F3 O2



RN 656237-17-1 CAPLUS

CN 5-Isioxazolecarboxamide, N-[2-[[[(1R)-1-[3'-fluoro-2'-(2-methyl-2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]ethyl]amino]-4-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 656237-18-2 CAPLUS

CN 5-Isioxazolecarboxamide, N-[2-[[[(1R)-1-[3'-fluoro-2'-(2-methyl-2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]ethyl]amino]-4-methyl-3-pyridinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

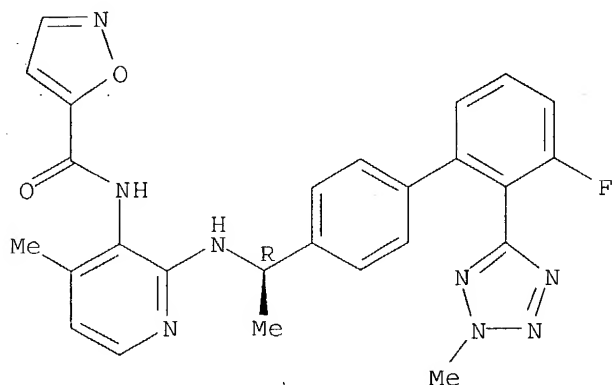
CM 1

CRN 656237-17-1

CMF C26 H23 F N8 O2

Absolute stereochemistry.

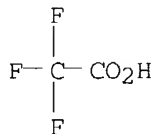
10/634,401



CM 2

CRN 76-05-1

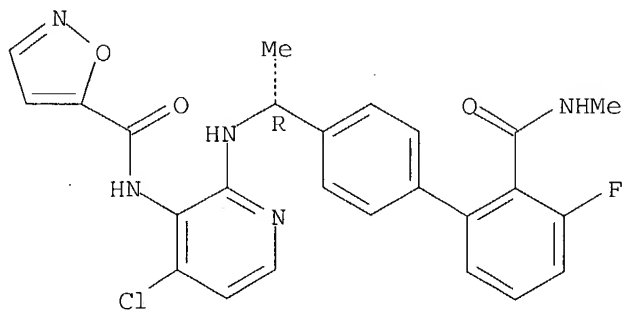
CMF C2 H F3 O2



RN 656237-19-3 CAPLUS

CN 5-Isioxazolecarboxamide, N-[4-chloro-2-[[[(1R)-1-[3'-fluoro-2'-[(methyamino)carbonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



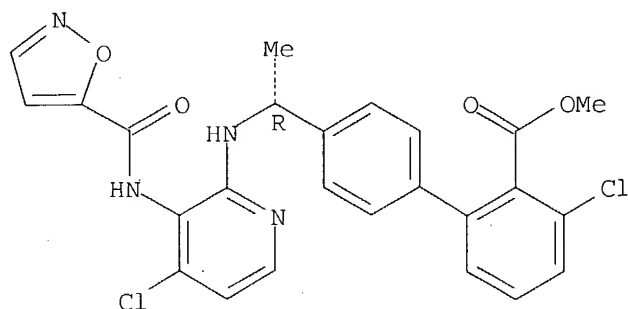
● HCl

RN 656237-20-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'--[(1R)-1-[4-chloro-3-[(5-isoxazolylcarbonyl)amino]-2-pyridinyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/634,401



RN 656237-21-7 CAPLUS

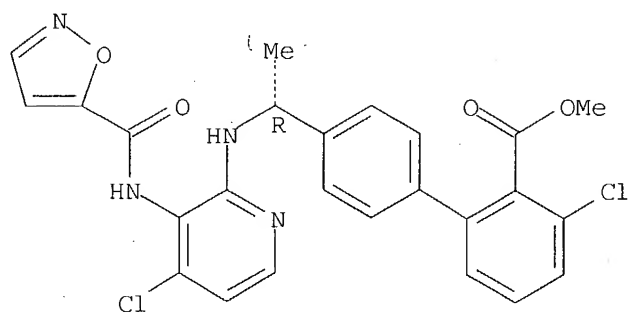
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'--[(1R)-1-[[4-chloro-3-[(5-isoxazolylcarbonyl)amino]-2-pyridinyl]amino]ethyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 656237-20-6

CMF C25 H20 Cl2 N4 O4

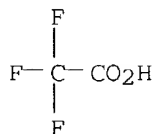
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

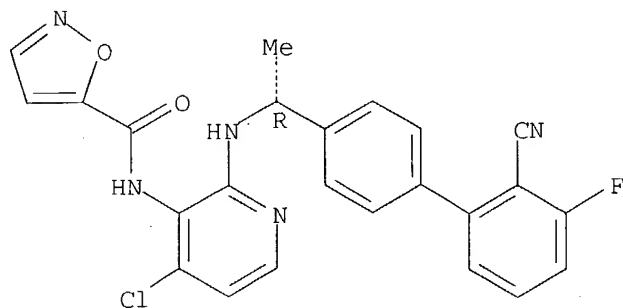


RN 656237-22-8 CAPLUS

CN 5-Isoxazolecarboxamide, N-[4-chloro-2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/634,401

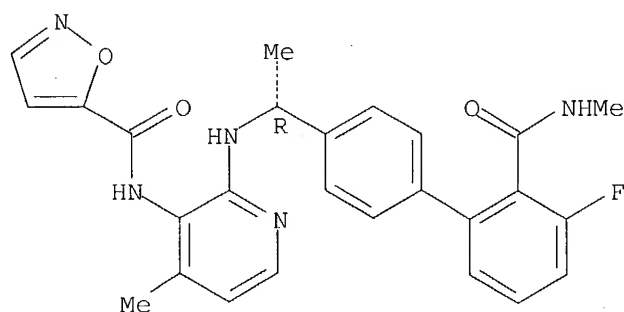


● HCl

RN 656237-23-9 CAPLUS

CN 5-Isoxazolecarboxamide, N-[2-[[[(1R)-1-[3'-fluoro-2'-[(methylamino)carbonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

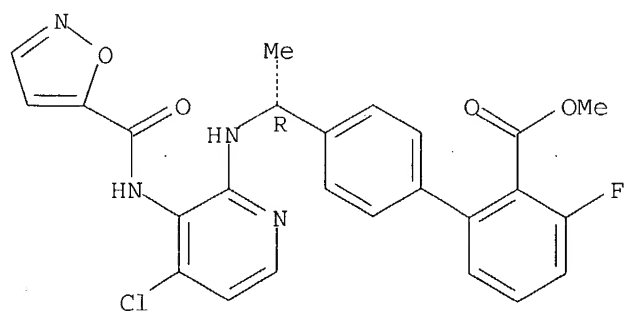


● HCl

RN 656237-24-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[(1R)-1-[[4-chloro-3-[(5-isoxazolylcarbonyl)amino]-2-pyridinyl]amino]ethyl]-3-fluoro-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/634,401

RN 656237-25-1 CAPLUS

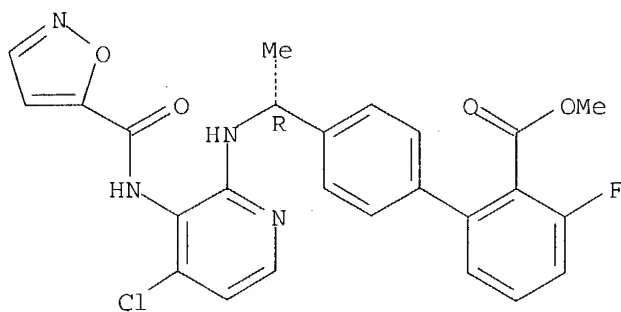
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[(1R)-1-[[4-chloro-3-[(5-isoxazolylcarbonyl)amino]-2-pyridinyl]amino]ethyl]-3-fluoro-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 656237-24-0

CMF C25 H20 Cl F N4 O4

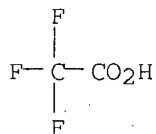
Absolute stereochemistry.



CM 2

CRN 76-05-1

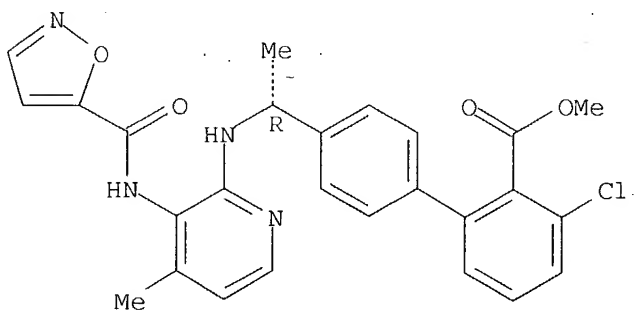
CMF C2 H F3 O2



RN 656237-26-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'--[(1R)-1-[[3-[(5-isoxazolylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 656237-27-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'--[(1R)-1-[[3-[(5-isoxazolylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-, methyl ester

10/634,401

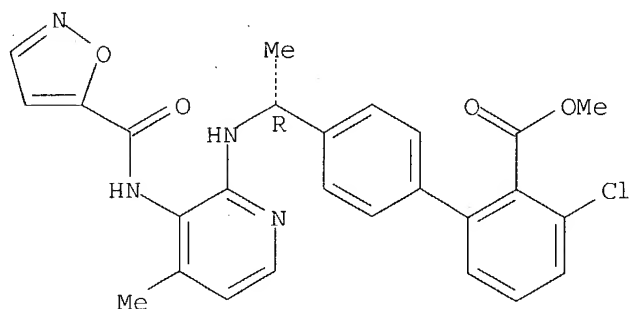
ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 656237-26-2

CMF C26 H23 Cl N4 O4

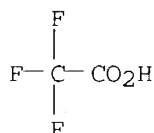
Absolute stereochemistry.



CM 2

CRN 76-05-1

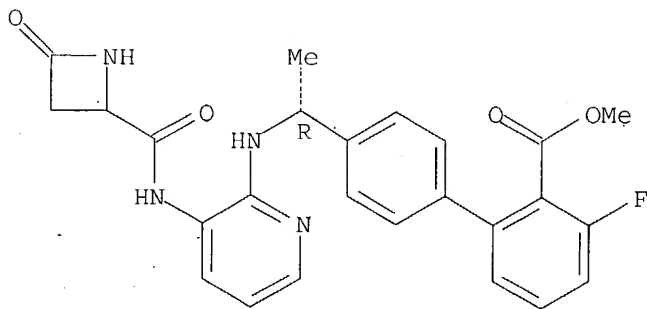
CMF C2 H F3 O2



RN 656237-28-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-fluoro-4'--[(1R)-1-[[3-[[4-oxo-2-azetidiny]carbonyl]amino]-2-pyridinyl]amino]ethyl]-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

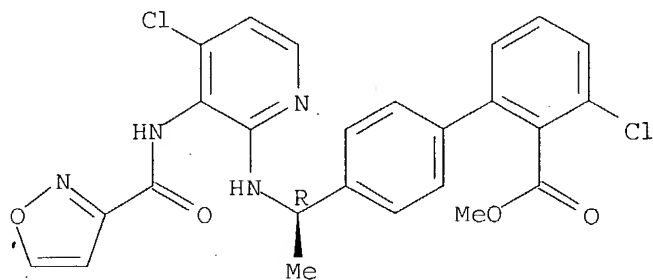


RN 656237-29-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'--[(1R)-1-[[4-chloro-3-[(3-isoxazolylcarbonyl)amino]-2-pyridinyl]amino]ethyl]-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

10/634,401



RN 656237-30-8 CAPLUS

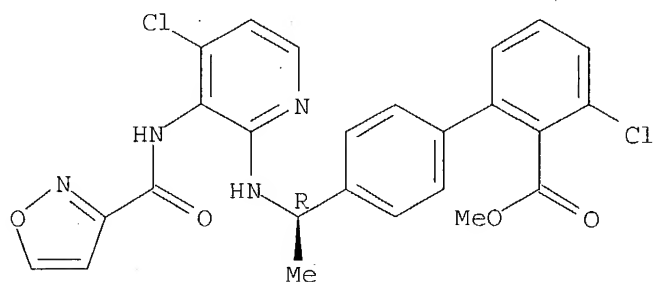
CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'--[(1R)-1-[[4-chloro-3-[(3-isoxazolylcarbonyl)amino]-2-pyridinyl]amino]ethyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 656237-29-5

CMF C25 H20 Cl2 N4 O4

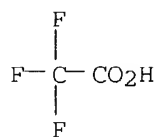
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

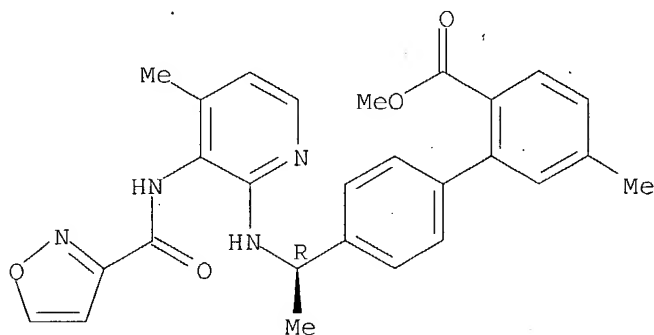


RN 656237-31-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[(1R)-1-[[3-[(3-isoxazolylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-5-methyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry..

10/634,401

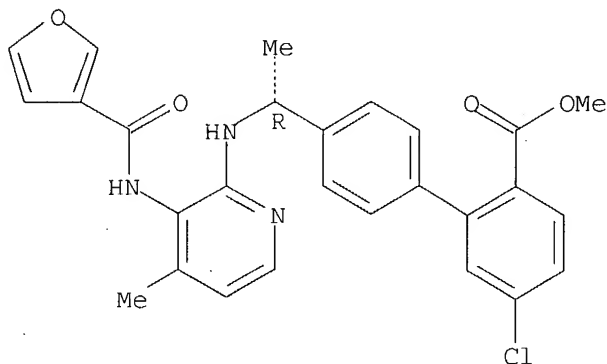


● HCl

RN 656237-32-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 5-chloro-4'--[(1R)-1-[[3-[(3-furanylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



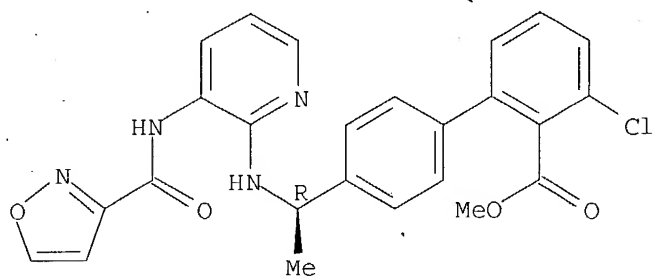
● HCl

RN 656237-33-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'--[(1R)-1-[[3-[(3-isoxazolylcarbonyl)amino]-2-pyridinyl]amino]ethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/634,401

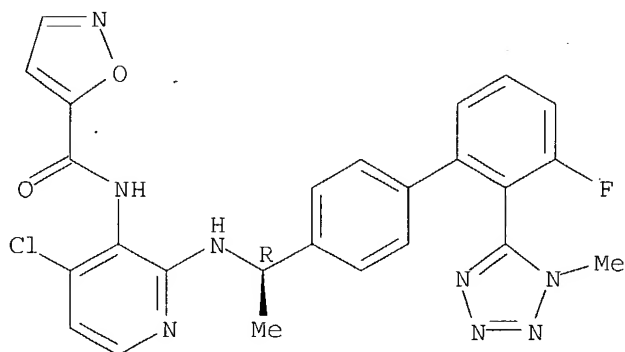


● HCl

RN 656237-34-2 CAPLUS

CN 5-Isioxazolecarboxamide, N-[4-chloro-2-[[[(1R)-1-[3'-fluoro-2'-(1-methyl-1H-tetrazol-5-yl)]1,1'-biphenyl]-4-yl]ethyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

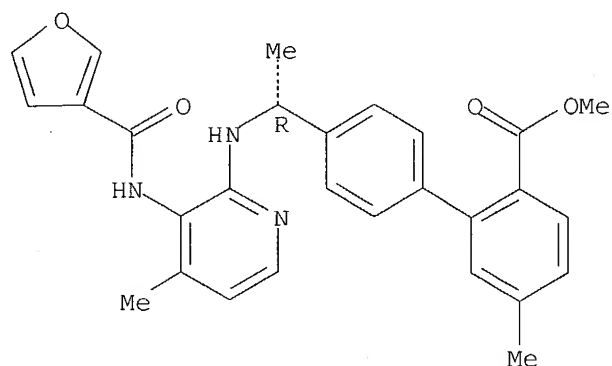


RN 656237-35-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[(1R)-1-[[3-[(3-furanylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-5-methyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/634,401

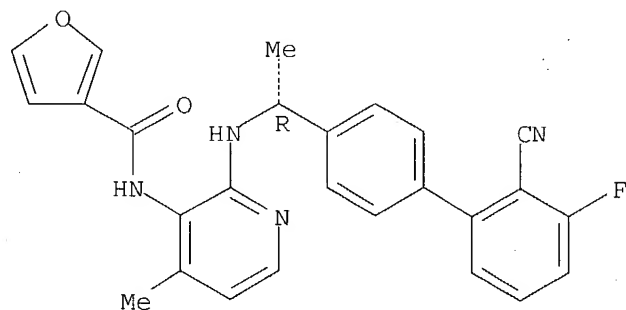


● HCl

RN 656237-36-4 CAPLUS

CN 3-Furancarboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



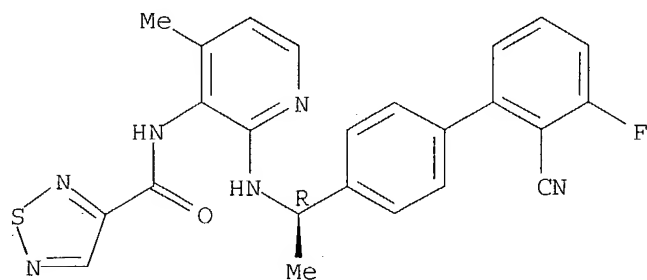
● HCl

RN 656237-37-5 CAPLUS

CN 1,2,5-Thiadiazole-3-carboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/634,401

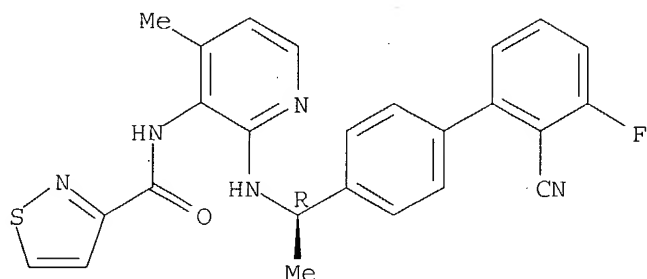


● HCl

RN 656237-38-6 CAPLUS

CN 3-Isothiazolecarboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

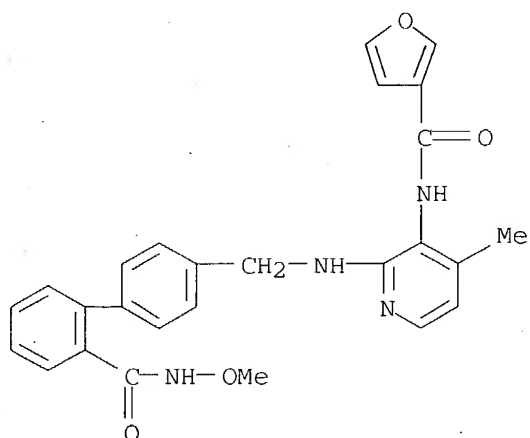


● HCl

RN 656237-39-7 CAPLUS

CN 3-Furancarboxamide, N-[2-[[[2'-[(methoxyamino)carbonyl][1,1'-biphenyl]-4-yl]methyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

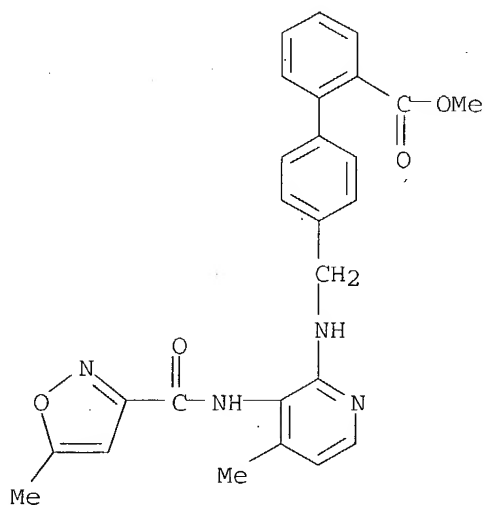
10/634,401



● HCl

RN 656237-40-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[4-methyl-3-[[5-methyl-3-isoxazolyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

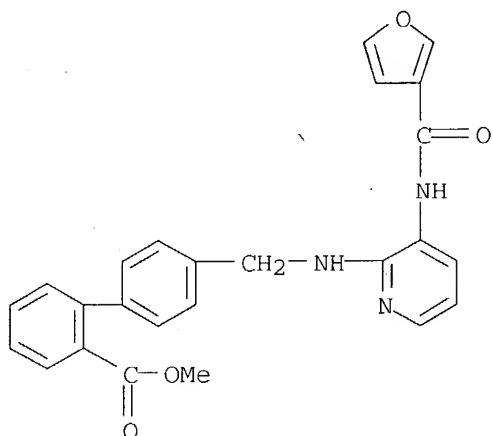


● HCl

RN 656237-41-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[[3-furanylcarbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10/634,401

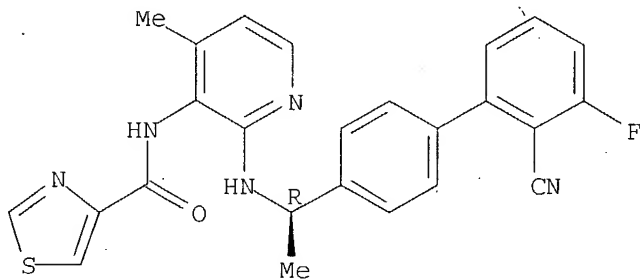


● HCl

RN 656237-42-2 CAPLUS

CN 4-Thiazolecarboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



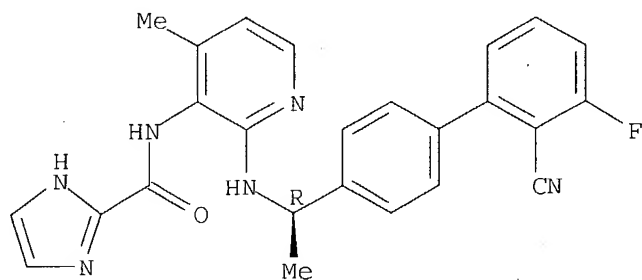
● HCl

RN 656237-43-3 CAPLUS

CN 1H-Imidazole-2-carboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

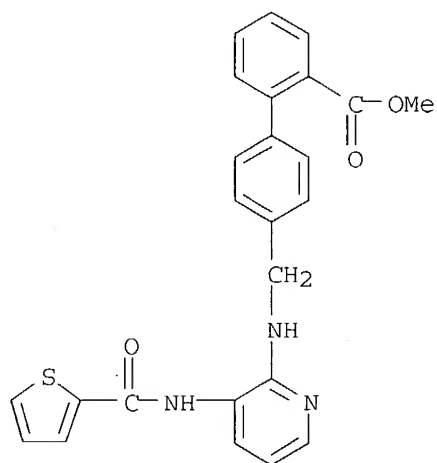
10/634,401



● HCl

RN 656237-44-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[(2-thienylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

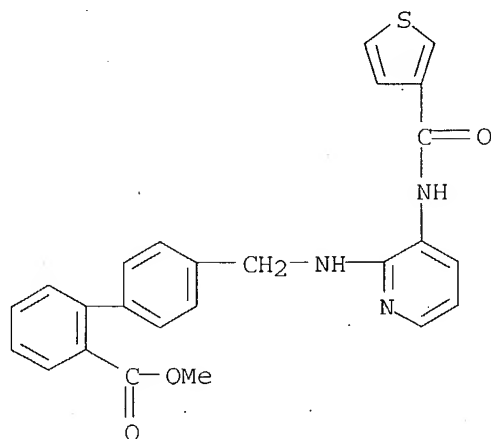


● HCl

RN 656237-45-5 CAPLUS

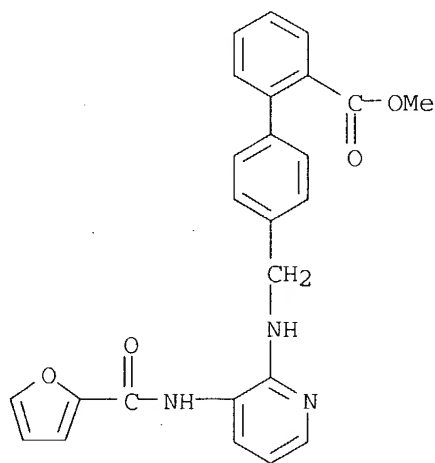
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[(3-thienylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10/634,401



● HCl

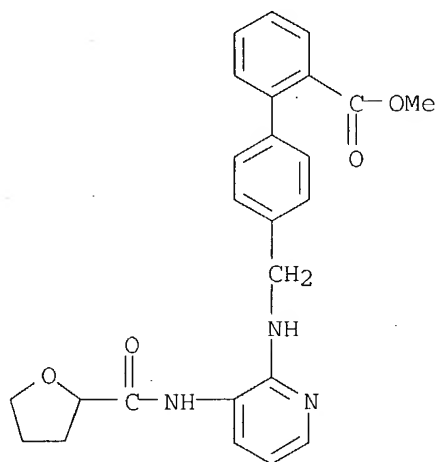
RN 656237-46-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[(2-furanylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 656237-47-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[[[3-[(tetrahydro-2-furanyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

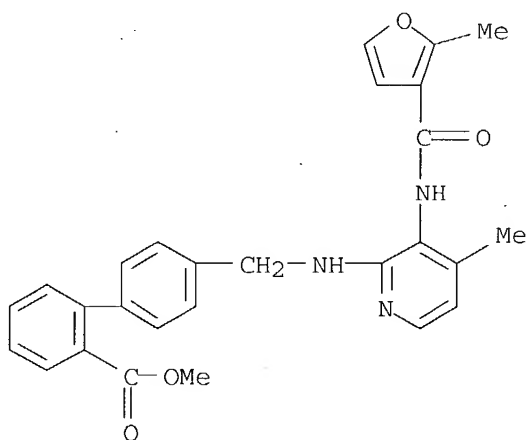
10/634,401



● HCl

RN 656237-48-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[4-methyl-3-[(2-methyl-3-furanyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

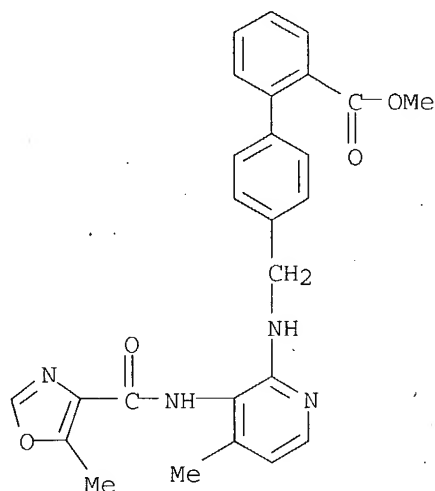


● HCl

RN 656237-49-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[4-methyl-3-[(5-methyl-4-oxazolyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

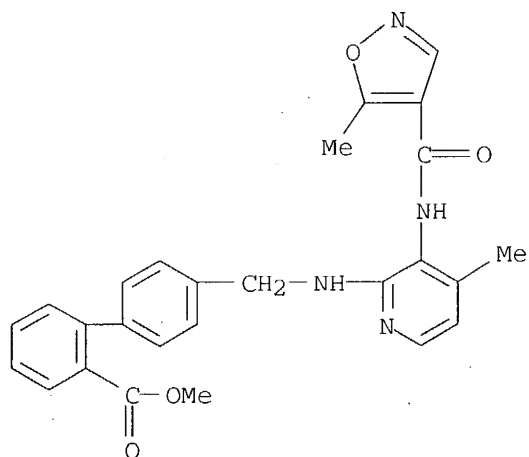
10/634,401



● HCl

RN 656237-50-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[4-methyl-3-[(5-methyl-4-isoxazolyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



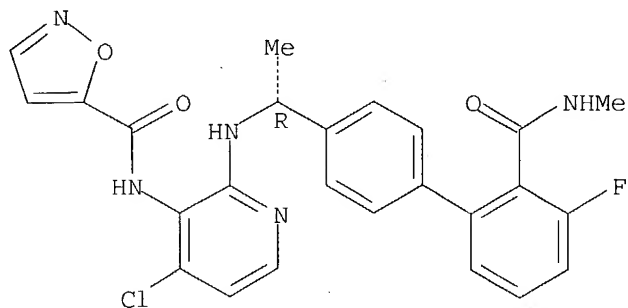
● HCl

RN 656237-51-3 CAPLUS

CN 5-Isioxazolecarboxamide, N-[4-chloro-2-[[[(1R)-1-[3'-fluoro-2'-[(methylamino)carbonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-3-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

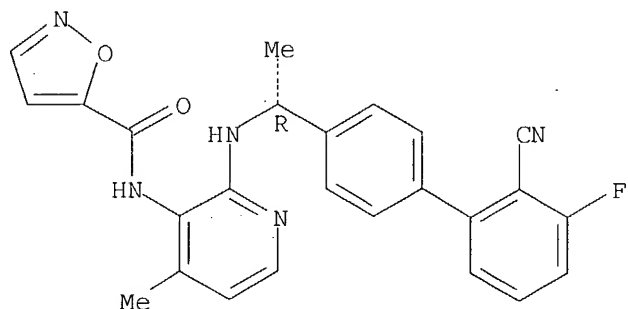
10/634,401



RN 656237-52-4 CAPLUS

CN 5-Isioxazolecarboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]]- (9CI) (CA INDEX NAME)

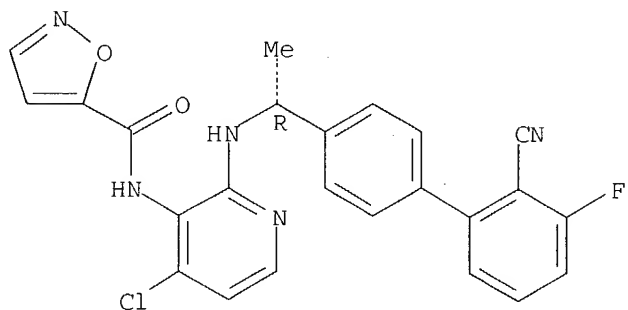
Absolute stereochemistry.



RN 656237-53-5 CAPLUS

CN 5-Isioxazolecarboxamide, N-[4-chloro-2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-3-pyridinyl]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

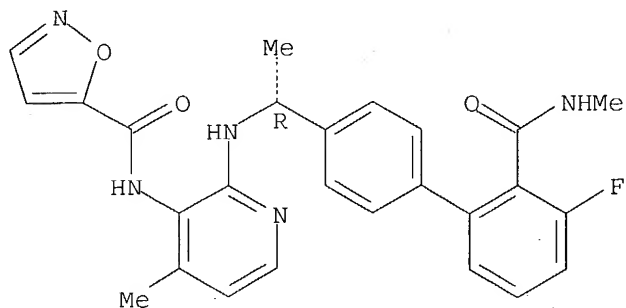


RN 656237-54-6 CAPLUS

CN 5-Isioxazolecarboxamide, N-[2-[[[(1R)-1-[3'-fluoro-2'-(methylamino)carbonyl][1,1'-biphenyl]-4-yl]ethyl]amino]-4-methyl-3-pyridinyl]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

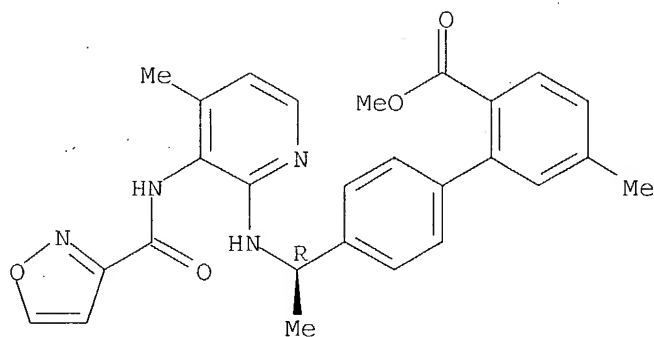
10/634,401



RN 656237-55-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[(1R)-1-[[3-[(3-isoxazolylicarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-5-methyl-, methyl ester (9CI) (CA INDEX NAME)

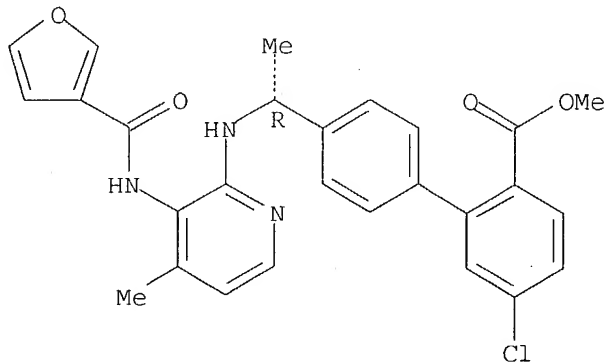
Absolute stereochemistry.



RN 656237-56-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 5-chloro-4'--[(1R)-1-[[3-[(3-furanylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

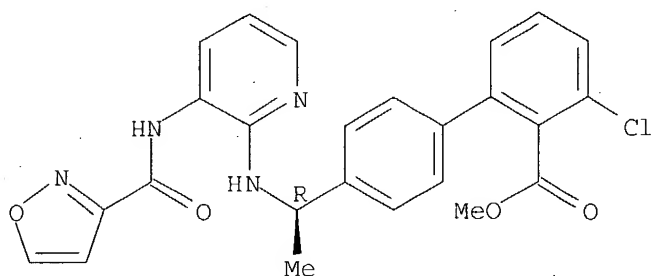


RN 656237-57-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3-chloro-4'--[(1R)-1-[[3-[(3-isoxazolylicarbonyl)amino]-2-pyridinyl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

10/634,401

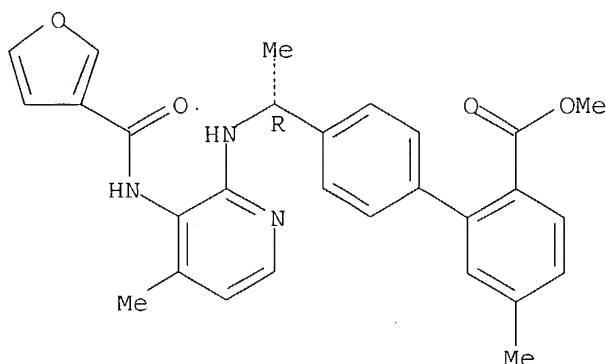
Absolute stereochemistry.



RN 656237-58-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[(1R)-1-[[3-[(3-furanylcarbonyl)amino]-4-methyl-2-pyridinyl]amino]ethyl]-5-methyl-, methyl ester (9CI) (CA INDEX NAME)

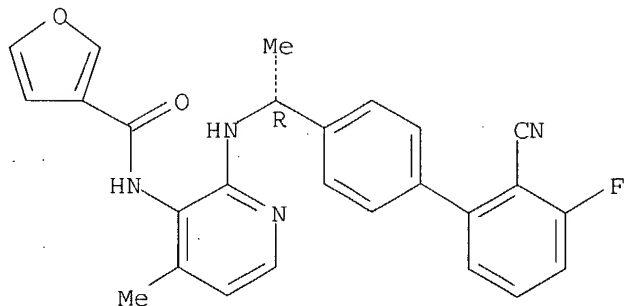
Absolute stereochemistry.



RN 656237-59-1 CAPLUS

CN 3-Furancarboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

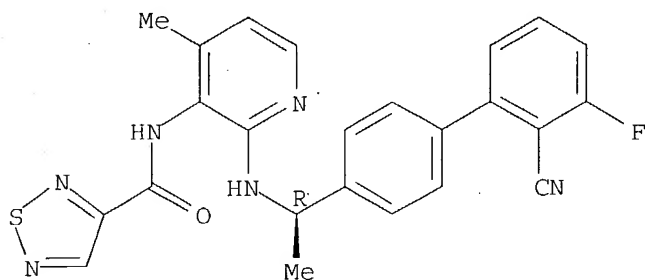


RN 656237-60-4 CAPLUS

CN 1,2,5-Thiadiazole-3-carboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

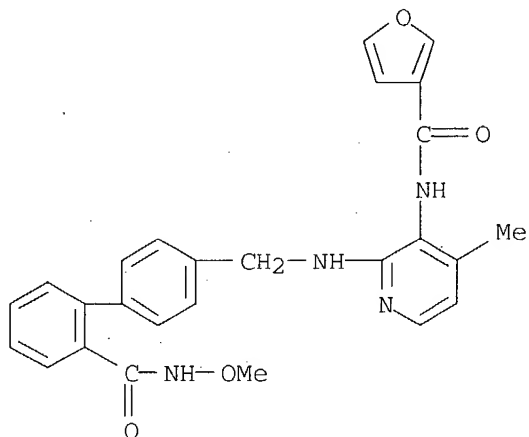
Absolute stereochemistry.

10/634,401



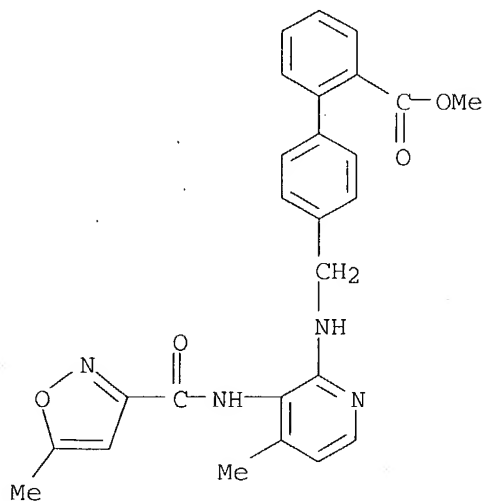
RN 656237-61-5 CAPLUS

CN 3-Furancarboxamide, N-[2-[[[2'-[(methoxyamino)carbonyl][1,1'-biphenyl]-4-yl]methyl]amino]-4-methyl-3-pyridinyl]-(9CI) (CA INDEX NAME)



RN 656237-62-6 CAPLUS

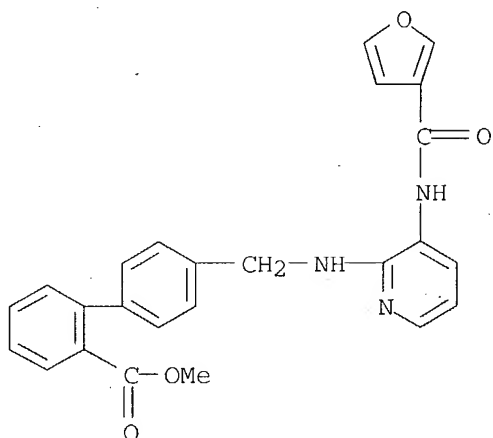
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[4-methyl-3-[(5-methyl-3-isoxazolyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 656237-63-7 CAPLUS

10/634,401

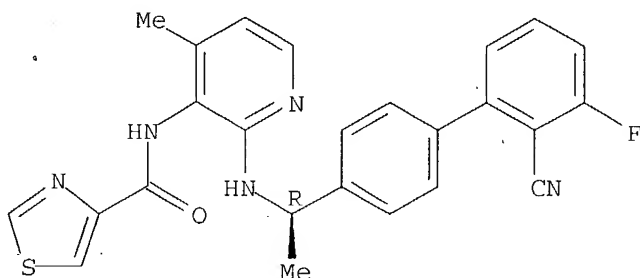
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[[3-[(3-furanylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 656237-64-8 CAPLUS

CN 4-Thiazolecarboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

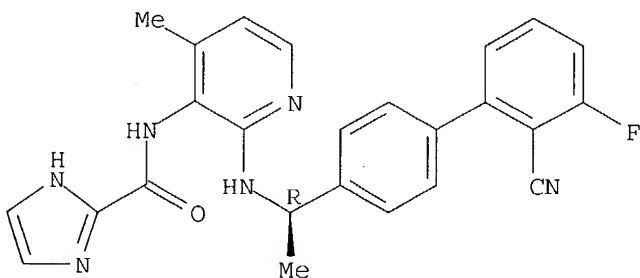
Absolute stereochemistry.



RN 656237-65-9 CAPLUS

CN 1H-Imidazole-2-carboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

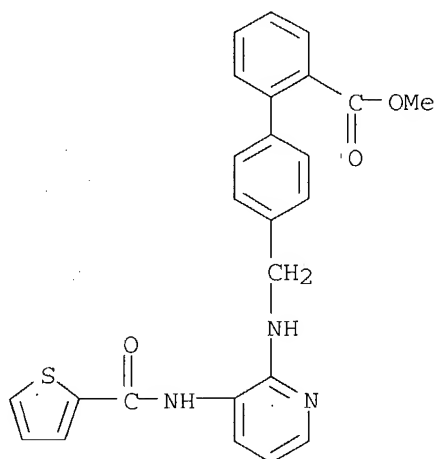
Absolute stereochemistry.



RN 656237-66-0 CAPLUS

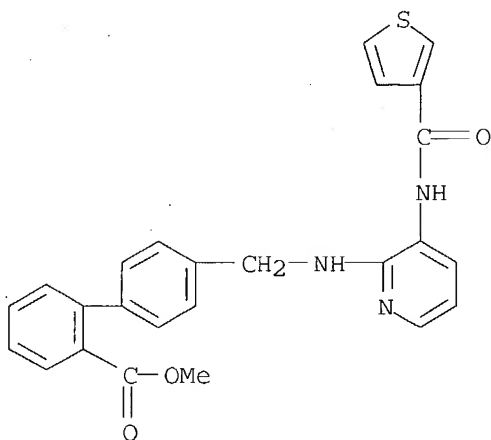
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'--[[[3-[(2-thienylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

10/634,401



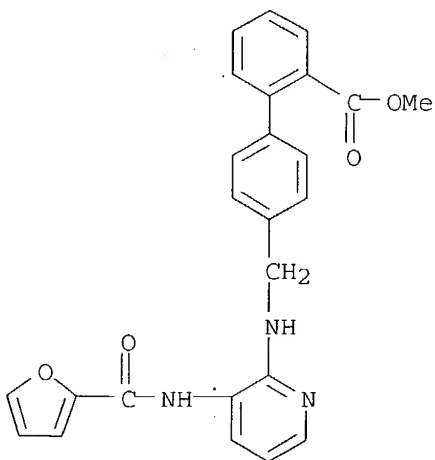
RN 656237-67-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[(3-thienylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 656237-68-2 CAPLUS

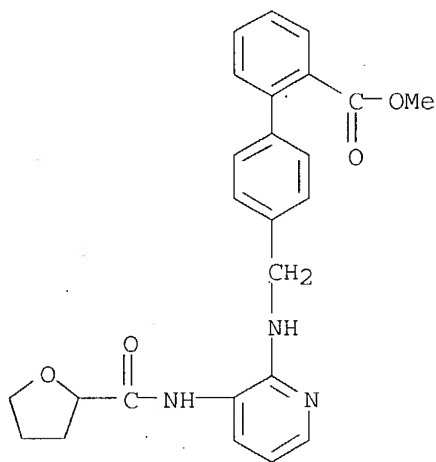
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[(2-furanylcarbonyl)amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



10/634,401

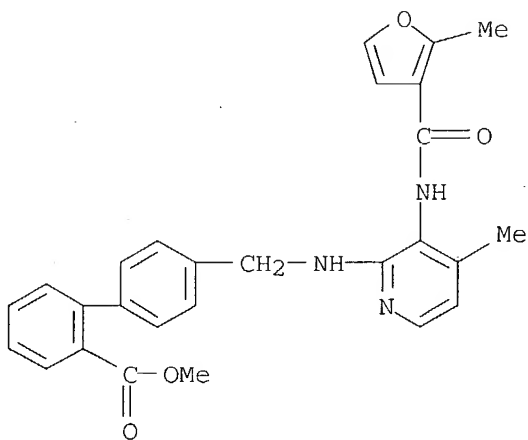
RN 656237-69-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[3-[[[tetrahydro-2-furanyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI)
(CA INDEX NAME)



RN 656237-70-6 CAPLUS

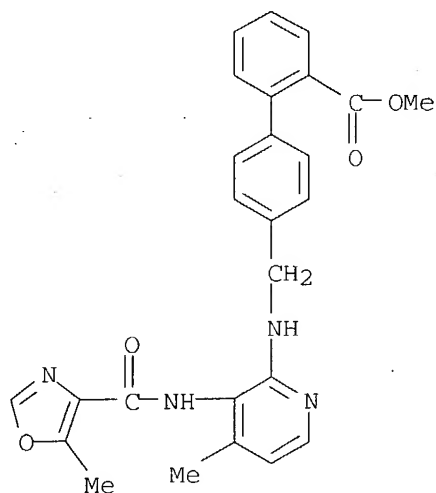
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[4-methyl-3-[[[2-methyl-3-furanyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI)
(CA INDEX NAME)



RN 656237-71-7 CAPLUS

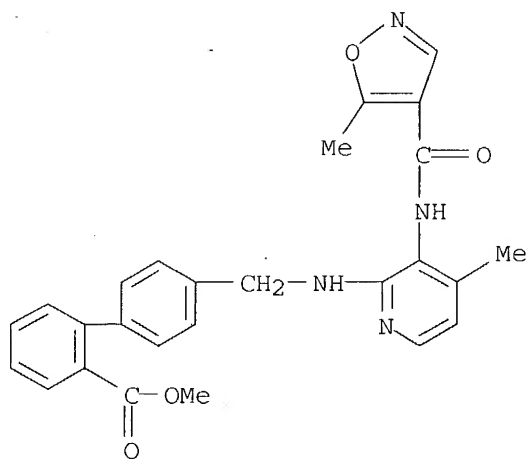
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[4-methyl-3-[[[5-methyl-4-oxazolyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI)
(CA INDEX NAME)

10/634,401



RN 656237-72-8 CAPLUS

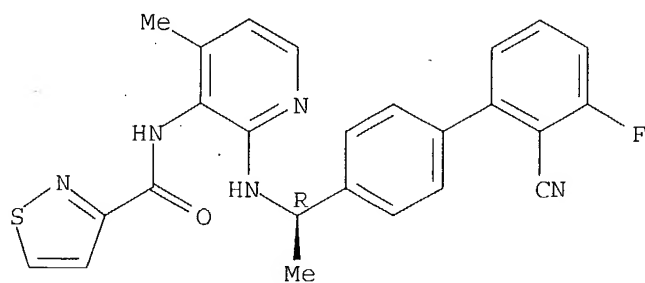
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[4-methyl-3-[[5-methyl-4-isoxazolyl)carbonyl]amino]-2-pyridinyl]amino]methyl]-, methyl ester (9CI)
(CA INDEX NAME)



RN 656238-75-4 CAPLUS

CN 3-Isothiazolecarboxamide, N-[2-[[[(1R)-1-(2'-cyano-3'-fluoro[1,1'-biphenyl]-4-yl)ethyl]amino]-4-methyl-3-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/634,401

=> d his

(FILE 'HOME' ENTERED AT 13:22:05 ON 23 MAR 2004)

FILE 'REGISTRY' ENTERED AT 13:23:04 ON 23 MAR 2004

L1 STRUCTURE UPLOADED

L2 2 S L1

L3 61 S L1 FULL

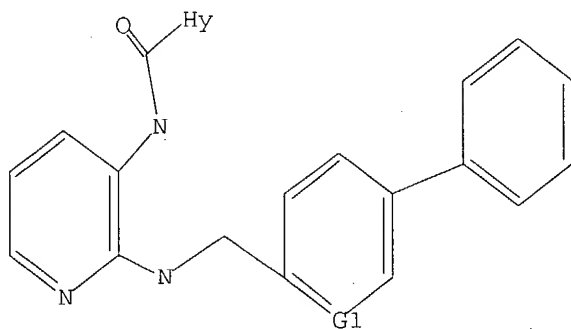
FILE 'CAPLUS' ENTERED AT 13:24:25 ON 23 MAR 2004

L4 1 S L3

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> => d ibib abs

L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:120586 CAPLUS

DOCUMENT NUMBER: 140:163877

TITLE: Preparation of 2-(biarylalkyl)amino-3-(heterocyclylcarbonylamino)pyridine derivatives as bradykinin receptor B1 antagonists

INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-Mei; Wai, Jenny Miu-Chun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-------------------|-----------------|------------|
| US 2004029920 | A1 | 20040212 | US 2003-634401 | 20030805 |
| PRIORITY APPLN. INFO.: | | | US 2002-401396P | P 20020806 |
| OTHER SOURCE(S): | | MARPAT 140:163877 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I) [X = Y = CH, or one is CH and the other is N; R1, R2 = H, C1-4 alkyl; R3 = H, (un)substituted C1-4 alkyl; R4 = H, nitro, halogen, (CH2)nORa, (CH2)nCO2Ra, (CH2)nCN, (CH2)nNRbRc, (CH2)nNHC(O)CH2CN, CONRbRc, C1-4 alkyl; R5 = tetrahydrofuranyl, 2-oxo-4-azetidiny, (un)substituted heteroaryl; R6a = (un)substituted C1-8 alkyl, C3-8 cycloalkyl, (un)substituted C2-8, halogen, OCF3, cyano, nitro, NRbRc, NRbC(O)Ra, NRbCO2Ra' (wherein Ra' is a nonhydrogen group selected from Ra), CO2Ra, CORa, CONRbRc, CONHORA, ORa, OC(O)Ra, S(O)nRa', SO2NHRc, NHSO2Rd, C(:NORa)NRbRc, C(:NORa)Ra, (un)substituted heterocyclyl; R6b, R6c = H, a group from R6a; with the proviso that not more than one of R6a, R6b, and R6c is a heterocycle; R7 = H, cyano, nitro, halogen, ORa, CO2Ra, CONRbRc, C1-4 alkyl; Ra = H, C1-4 alkyl, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; Rb,Rc = H, C1-4 alkyl optionally substituted with ORa, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; or NRbRc together forms a 5- or 6-membered ring optionally containing a heteroatom selected from NRa, O and S; Rd = C1-4 alkyl optionally substituted with 1 to 3 halogen atoms, aryl, aryl-C1-4 alkyl, NRbRc; n = 0, 1, 2] or pharmaceutically acceptable salts thereof are prepared Compds. disclosed herein, e.g. N-[2-[(1R)-1-(2-cyano-3-fluoro-1,1'-biphenyl-4-yl)ethyl]amino]-4-methylpyridin-3-yl]isoxazole-5-carboxamide (II), are bradykinin receptor B1 antagonist compds. and useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin receptor B1 pathway. More specifically these symptoms include (1) osteoarthritis, repetitive motion pain, dental pain, cancer pain, myofascial pain, muscular injury pain, fibromyalgia pain, and perioperative pain and (2) inflammatory pain caused by chronic obstructive pulmonary disease, asthma, inflammatory bowel disease, rhinitis, pancreatitis, cystitis (interstitial cystitis), uveitis, inflammatory skin disorders, rheumatoid arthritis, edema resulting from trauma associated with burns, sprains or fracture, postsurgical intervention, osteoarthritis, rheumatic disease, tenosynovitis, or gout, (3) pain associated with angina or menstruation, and (4) pain caused by pneumoconiosis, including aluminosis, anthracosis, asbestosis, chalicosis, ptilosis, siderosis, silicosis, tabacosis, byssinosis, adult respiratory distress syndrome, bronchitis, allergic rhinitis, vasomotor rhinitis, liver disease, multiple sclerosis, atherosclerosis, Alzheimer's disease, septic shock, cerebral edema, headache, migraine, closed head trauma, irritable bowel syndrome, or nephritis. These compds. are also useful for the treatment of diabetic vasculopathy, post capillary resistance, diabetic symptoms associated with insulinitis, psoriasis, eczema, spasms of the gastrointestinal tract or uterus, Crohn's disease, ulcerative colitis, or pancreatitis.

=> d his

(FILE 'HOME' ENTERED AT 13:22:05 ON 23 MAR 2004)

FILE 'REGISTRY' ENTERED AT 13:23:04 ON 23 MAR 2004

L1 STRUCTURE UPLOADED

L2 2 S L1

L3 61 S L1 FULL

FILE 'CAPLUS' ENTERED AT 13:24:25 ON 23 MAR 2004

L4 1 S L3

FILE 'REGISTRY' ENTERED AT 13:25:16 ON 23 MAR 2004

L5 STRUCTURE UPLOADED

L6 2 S L5

10/634,401

L7 61 S L5 FULL

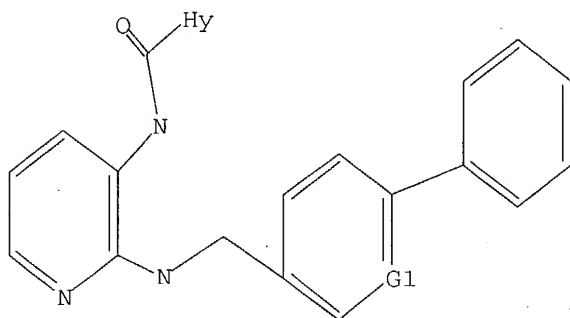
FILE 'CAPLUS' ENTERED AT 13:26:39 ON 23 MAR 2004

L8 1 S L7

=> d 15

L5 HAS NO ANSWERS

L5 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=>

Day : Tuesday
Date: 3/23/2004
Time: 13:30:38

PALM INTRANET

Inventor Name Search Result

Your Search was:

Last Name = KUDUK

First Name = SCOTT

| Application# | Patent# | Status | Date Filed | Title |
|-----------------|------------|--------|------------|--|
| <u>60410775</u> | Not Issued | 020 | 09/12/2002 | N-BIPHENYLMETHYL AMINOCYCLOALKANECARB |
| <u>60410172</u> | Not Issued | 020 | 09/12/2002 | N-BIPHENYL(SUBSTITUTED METHYL)AMINOCYCLOALKANECARBOXAMIDE D. |
| <u>60406742</u> | Not Issued | 159 | 08/29/2002 | N-BIARYLMETHYL AMINOCYCLOALKANECARBO |
| <u>60401462</u> | Not Issued | 159 | 08/06/2002 | 2-(BIARYLALKYL)AMINO-3-(ALKANOYLAMINO)PY |
| <u>60401454</u> | Not Issued | 159 | 08/06/2002 | 2-(BIARYLALKYL)AMINO-3-(FLUOROALKANOYLAI DERIVATIVES |
| <u>60401396</u> | Not Issued | 159 | 08/06/2002 | 2-(BIARYLALKYL)AMINO-3-(HETEROCYCLYLCAI DERIVATIVES |
| <u>60401386</u> | Not Issued | 159 | 08/06/2002 | 2-(BIARYLALKYL)AMINO-3-(CYANOALKANOYLA DERIVATIVES |
| <u>60355062</u> | Not Issued | 159 | 02/08/2002 | N-BIPHENYLMETHYL AMINOCYCLOPROPANECAR DERIVATIVES |
| <u>60296644</u> | Not Issued | 159 | 06/07/2001 | BENZODIAZAPINE BRADYKININ ANTAGONISTS |
| <u>60185968</u> | Not Issued | 159 | 03/01/2000 | SYNTHESIS OF EPOTHILONES, INTERMEDIATES TI AND USES THEREOF |
| <u>10634966</u> | Not Issued | 020 | 08/05/2003 | 2-(BIARYLALKYL)AMINO-3-(FLUOROALKANOYLAI DERIVATIVES |
| <u>10634426</u> | Not | 030 | 08/05/2003 | 2-(BIARYLALKYL)AMINO-3-(CYANOALKANOYLA |

| | | | | |
|-----------------|----------------|-----|------------|---|
| | Issued | | | DERIVATIVES |
| <u>10634402</u> | Not Issued | 030 | 08/05/2003 | 2-(BIARYLALKYL)AMINO-3-(ALKANOYLAMINO)PY |
| <u>10634401</u> | Not Issued | 030 | 08/05/2003 | 2-(BIARYLALKYL)AMINO-3-(HETEROCYCLYLCA DERIVATIVES |
| <u>10600012</u> | Not Issued | 041 | 06/19/2003 | TRIMERIC ANTIGENIC O-LINKED GLYCOPEPTIDE (OF PREPARATION AND USES THEREOF |
| <u>10401494</u> | Not Issued | 019 | 03/28/2003 | SYNTHESIS OF EPOTHILONES, INTERMEDIATES TI ANALOGUES THEREOF |
| <u>10354674</u> | Not Issued | 030 | 01/30/2003 | N-BIPHENYL(SUBSTITUTED METHYL) AMINOCYCLOALKANE-CARBOXAMIDE DERIVATT |
| <u>10329090</u> | Not Issued | 071 | 12/23/2002 | SYNTHESIS OF EPOTHILONES, INTERMEDIATES TI ANALOGUES THEREOF |
| <u>10205021</u> | Not Issued | 030 | 07/25/2002 | ALPHA-O-LINKED GLYCOCONJUGATES, METHODS USES THEREOF |
| <u>10135433</u> | Not Issued | 083 | 04/30/2002 | SYNTHESIS OF EPOTHILONES, INTERMEDIATES TI ANALOGUES THEREOF |
| <u>10062376</u> | <u>6603023</u> | 150 | 02/01/2002 | SYNTHESIS OF EPOTHILONES, INTERMEDIATES TI ANALOGUES THEREOF |
| <u>09960665</u> | Not Issued | 041 | 09/21/2001 | METHODS AND COMPOSITIONS FOR DEGRADATIO OF HER-FAMILY TYROSINE KINASES |
| <u>09937192</u> | Not Issued | 120 | 09/21/2001 | METHODS AND COMPOSITIONS FOR DEGRADATIO OF HER-FAMILY TYROSINE KINASES |
| <u>09680493</u> | Not Issued | 168 | 10/05/2000 | SYNTHESIS OF EPOTHILONES, INTERMEDIATES TI AND USES THEREOF |
| <u>09403434</u> | <u>6670348</u> | 150 | 10/20/1999 | METHODS AND COMPOSITIONS FOR DESTRUCTIO PROTEINS |
| <u>09276595</u> | Not Issued | 161 | 03/25/1999 | TRIMERIC ANTIGENIC O-LINKED GLYCOPEPTIDE (OF PREPARATION AND USES THEREOF |
| <u>09257072</u> | <u>6204388</u> | 150 | 02/24/1999 | SYNTHESIS OF EPOTHILONES, INTERMEDIATES TI ANALOGUES THEREOF |

Inventor Search Completed: No Records to Display.

| | Last Name | First Name |
|-----------------------------|---------------------------------------|------------------------------------|
| Search Another: Inventor | <input type="text" value="Kuduk"/> | <input type="text" value="Scott"/> |
| | <input type="button" value="Search"/> | |

To go back use Back button on your browser toolbar.

Back to [PALM](#) | [ASSIGNMENT](#) | [OASIS](#) | [Home page](#)